## **AMENDMENTS TO THE CLAIMS**

This listing of claims will replace all prior versions and listings of claims in the application:

## **Listing of Claims:**

Claims 1-3 (canceled).

4. (currently amended) The A compound according to claim 2, wherein of formula (I)

$$A_{U}^{1} A_{A}^{3} A_{CH_{2})_{m}}^{4} (CH_{2})_{n} (CH_{2})_{n}$$

$$(I)$$

### wherein

U is a lone pair;

V is -C≡C-;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

W is  $SO_2$ , or  $SO_2NR^1$ ,

A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,

A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

A<sup>1</sup> and A<sup>2</sup> bond together to form -A<sup>1</sup>-A<sup>2</sup>-, wherein -A<sup>1</sup>-A<sup>2</sup>- is lower-alkylene or lower-alkylene, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>2</sup>- is optionally replaced by NR<sup>3</sup>, S, or O;

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

- is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;
- R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);

  R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently hydrogen or lower-alkyl; and

  When A<sup>1</sup> is not bonded to A<sup>2</sup>, A<sup>1</sup> and A<sup>3</sup> optionally bond together to form -A<sup>1</sup>-A<sup>3</sup>-,

  wherein -A<sup>1</sup>-A<sup>3</sup>- is lower-alkylene or lower-alkenylene, optionally substituted by R<sup>2</sup>, and

one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>3</sup>- is optionally replaced by NR<sup>3</sup>, S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).

5. (currently amended) The  $\underline{A}$  compound according to claim 2, wherein  $\underline{of}$  formula (I)

$$A_{1}^{1} A_{2}^{3} A_{1}^{4} V (CH_{2})_{n} (CH_{2})_{n}$$

$$(I)$$

#### wherein

U is a lone pair;

V is  $-CH_2$ -;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

W is  $SO_2$ , or  $SO_2NR^1$ ,

A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,

A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

A<sup>1</sup> and A<sup>2</sup> bond together to form -A<sup>1</sup>-A<sup>2</sup>-, wherein -A<sup>1</sup>-A<sup>2</sup>- is lower-alkylene or lower-alkenylene, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>2</sup>- is optionally replaced by NR<sup>3</sup>, S, or O;

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

- is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl-lower-alkyl;
- R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);
- R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently hydrogen or lower-alkyl; and

  When A<sup>1</sup> is not bonded to A<sup>2</sup>, A<sup>1</sup> and A<sup>3</sup> optionally bond together to form -A<sup>1</sup>-A<sup>3</sup>-,

  wherein -A<sup>1</sup>-A<sup>3</sup>- is lower-alkylene or lower-alkenylene, optionally substituted by R<sup>2</sup>, and

one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>3</sup>- is optionally replaced by NR<sup>3</sup>, S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).

- 6. (canceled).
- 7. (currently amended) The  $\underline{A}$  compound according to claim 6, wherein of formula (I)

$$A_{U}^{1} A_{A}^{2} A_{A}^{4} V (CH_{2})_{m} (CH_{2})_{n}$$

$$(I)$$

#### wherein

U is a lone pair;

V is O,  $-CH_2$ -, -CH=CH-, or -C=C-;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

- W is CO, COO, or SO<sub>2</sub>NH, with the provisos that:

  a) m is 1 to 7 when V is O,
- A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,
- A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

A<sup>1</sup> and A<sup>2</sup> bond together to form -A<sup>1</sup>-A<sup>2</sup>-, wherein -A<sup>1</sup>-A<sup>2</sup>- is lower-alkylene or loweralkenylene, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>2</sup>- is optionally replaced by NR<sup>3</sup>, S, or O;

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

- is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl-lower-alkyl;
- R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);

R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently hydrogen or lower-alkyl; and

When A<sup>1</sup> is not bonded to A<sup>2</sup>, A<sup>1</sup> and A<sup>3</sup> optionally bond together to form -A<sup>1</sup>-A<sup>3</sup>-, wherein -A<sup>1</sup>-A<sup>3</sup>- is lower-alkylene or lower-alkenylene, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>3</sup>- is optionally replaced by NR<sup>3</sup>, S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).

Claims 8-12 (canceled).

13. (currently amended) The  $\underline{A}$  compound according to claim 2, wherein  $\underline{of}$  formula (I)

$$A_{U}^{1} A_{A^{2}}^{3} A_{CH_{2})_{m}}^{4} (CH_{2})_{n} (CH_{2})_{n}$$

$$(I)$$

### wherein

U is a lone pair;

V is O, -CH<sub>2</sub>-, -CH=CH-, or -C≡C-;

m is an integer from 0 to 2;

n is an integer from 0 to 7;

m+n is 0 to 7;

W is SO<sub>2</sub>, or SO<sub>2</sub>NR<sup>1</sup>, with the provisos that:

- a) m+n is 1 or 2 when V is -CH<sub>2</sub>- and W is SO<sub>2</sub>,
- b) m=n=0 when V is -CH=CH- and W is SO<sub>2</sub>,
- c) m is 1 to 2 when V is O, and
- d) m is 1 to 2 when V is O, W is SO<sub>2</sub>, and n is 0;
- A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,
- A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or
- A¹ and A² bond together to form -A¹-A²-, wherein -A¹-A²- is lower-alkylene or lower-alkenylene, optionally substituted by R², and one -CH₂- group of -A¹-A²- is optionally replaced by NR³, S, or O;
- A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;
- is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl-lower-alkyl;
- R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);
- R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently hydrogen or lower-alkyl; and

When A<sup>1</sup> is not bonded to A<sup>2</sup>, A<sup>1</sup> and A<sup>3</sup> optionally bond together to form -A<sup>1</sup>-A<sup>3</sup>-, wherein -A<sup>1</sup>-A<sup>3</sup>- is lower-alkylene or lower-alkenylene, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>3</sup>- is optionally replaced by NR<sup>3</sup>, S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).

Claims 14-16 (canceled).

17. (currently amended) The  $\underline{A}$  compound according to claim 2, wherein of formula (I)

$$A_{U}^{1} A_{A}^{2} A_{A}^{4} V (CH_{2})_{n} (CH_{2})_{n} (I)$$

### wherein

U is a lone pair;

V is O,  $-CH_2$ -, -CH=CH-, or -C=C-;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

- W is SO<sub>2</sub>, or SO<sub>2</sub>NR<sup>1</sup>, with the provisos that:
  - a) m+n is 1 or 2 when V is -CH<sub>2</sub>- and W is SO<sub>2</sub>,
  - b) m=n=0 when V is -CH=CH- and W is SO<sub>2</sub>,
  - c) m is 1 to 7 when V is O, and
  - d) m is 1 to 3 when V is O, W is SO<sub>2</sub>, and n is 0;
- A<sup>1</sup> and A<sup>2</sup> are bonded together to form -A<sup>1</sup>-A<sup>2</sup>-, wherein <u>-A<sup>1</sup>-A<sup>2</sup>- is lower-alkylene or lower-alkenylene</u>, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>2</sup>- is optionally replaced by NR<sup>3</sup>, S, or O,

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

- is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;
- R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(lower-alkyl)<sub>2</sub>, and
- R<sup>3</sup> is lower-alkyl; or

pharmaceutically acceptable salts or esters of the compounds of formula (I).

18. (original) The compound according to claim 17, wherein  $R^2$  is methyl, hydroxy, 2-hydroxy-ethyl, or  $N(CH_3)_2$ , and  $R^3$  is methyl.

Claims 19-44 (canceled).

45. (currently amended) The A compound of claim 27, wherein of formula (la)

$$A^{11} \underbrace{N}_{A^{12}} \underbrace{(CH_2)_p} \underbrace{(CH_2)_q} \underbrace{(CH_2)_q} \underbrace{(Ia)}$$

### wherein

V is O, -CH<sub>2</sub>-, -CH=CH-, or -C≡C-;

p is an integer from 0 to 5;

q 0, 1 or 2;

X is SO<sub>2</sub>NH, with the proviso that:

a) p is 1 to 5 when V is O

A<sup>11</sup> is methyl or ethyl;

- A<sup>12</sup> is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and
- is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl-lower-alkyl; or

pharmaceutically acceptable salts or esters of the compounds of formula (la).

- 46. (original) The compound of claim 45, wherein A<sup>15</sup> is lower alkyl.
- 47. (previously presented) A compound selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid butylamide and pharmaceutically acceptable salts thereof.
  - 48. (original) The compound of claim 45, wherein A<sup>15</sup> is cycloalkyl-loweralkyl.
- 49. (previously presented) A compound selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid cyclohexylmethyl-amide, and pharmaceutically acceptable salts thereof.

- 50. (original) The compound of claim 45, wherein A<sup>15</sup> is phenyl.
- 51. (previously presented) A compound selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (phenyl)-amide and pharmaceutically acceptable salts thereof.
- 52. (currently amended) The compound of claim 45, wherein A<sup>15</sup> is phenyl substituted with at least one <u>halogen</u>.
- 53. (previously presented) A compound selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-chloro-phenyl)-amide and pharmaceutically acceptable salts thereof.
- 54. (previously presented) A compound selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-bromo-phenyl)-amide and pharmaceutically acceptable salts thereof.
- 55. (previously presented) A compound selected from the group consisting of 4-[6-(cyclopropyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (3,4-difluorophenyl)-amide and pharmaceutically acceptable salts thereof.
- 56. (currently amended) A compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (2,5-difluoro-phenyl)-amide and pharmaceutically acceptable salts thereof.
- 57. (original) The compound of claim 45, wherein A<sup>15</sup> is phenyl substituted with trifluoromethyl.

- 58. (previously presented) A compound selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-trifluoromethyl-phenyl)-amide and pharmaceutically acceptable salts thereof.
  - 59. (canceled)
  - 60. (currently amended) The A compound of claim 26, wherein of formula (la)

$$A^{11} \underbrace{\begin{array}{c} V \\ (CH_2)_p \end{array}}_{\text{A}^{12}} (CH_2)_{\text{q}} \qquad (Ia)$$

#### wherein

V is  $-CH_2$ -;

p is an integer from 0 to 5;

q 0, 1 or 2;

X is SO<sub>2</sub>, or SO<sub>2</sub>NH, with the proviso that:

a) p+q is 1 or 2 when V is -CH<sub>2</sub>- and X is SO<sub>2</sub>,

A<sup>11</sup> is methyl or ethyl;

A<sup>12</sup> is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and

is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl; or

pharmaceutically acceptable salts or esters of the compounds of formula (la).

61. (previously presented) A compound selected from the group consisting of methyl-propyl-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-butyl}-amine and pharmaceutically acceptable salts thereof.

## 62. (currently amended) The A compound of claim 26, wherein of formula (Ia)

$$A^{11} \underset{A^{12}}{\bigvee} (CH_2)_p (CH_2)_q$$
 (Ia)

## <u>wherein</u>

V is -CH=CH-;

p is an integer from 0 to 5;

q 0, 1 or 2;

X is SO<sub>2</sub>, or SO<sub>2</sub>NH, with the proviso that:

a) p=q=0 when V is -CH=CH- and X is SO<sub>2</sub>,

A<sup>11</sup> is methyl or ethyl;

A<sup>12</sup> is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and

is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl; or pharmaceutically acceptable salts or esters of the compounds of formula (Ia).

63. (currently amended) The A compound of claim 26, wherein of formula (Ia)

$$A^{11} \underset{A^{12}}{\overset{V}{\bigcap}} (CH_2)_p (CH_2)_q (Ia)$$

#### wherein

V is -C≡C-;

p is an integer from 0 to 5;

q 0, 1 or 2;

X is SO<sub>2</sub>, or SO<sub>2</sub>NH,

A<sup>11</sup> is methyl or ethyl;

- A<sup>12</sup> is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and
- is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl-lower-alkyl; or

pharmaceutically acceptable salts or esters of the compounds of formula (la).

Claims 64-66 (canceled).

- 67. (original) The compound of claim 63, wherein X is SO<sub>2</sub>.
- 68. (previously presented) A compound selected from the group consisting of methyl-propyl-{3-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-prop-2-ynyl}-amine and pharmaceutically acceptable salts thereof.
- 69. (currently amended) The A compound of claim 67, selected from the group consisting of 2-(ethyl-{5-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-pent-4-ynyl}-amino)-ethanol, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
- 70. (currently amended) The A compound of claim 67, selected from the group consisting of 2-(ethyl-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-but-3-ynyl}-amino)-ethanol, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

- 71. (previously presented) A compound selected from the group consisting of ethyl-(2-methoxy-ethyl)-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-but-3-ynyl}-amine and pharmaceutically acceptable salts thereof.
  - 72. (original) The compound of claim 63, wherein X is SO<sub>2</sub>NH.

Claims 73-75 (canceled).

76. (new) A compound of formula (I)

$$A_{U}^{1} \xrightarrow{A^{3}} A_{U}^{4} \xrightarrow{V} (CH_{2})_{n} (CH_{2})_{n}$$

$$(I)$$

wherein

U is a lone pair;

V is -CH=CH-;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

W is SO<sub>2</sub>, or SO<sub>2</sub>NR<sup>1</sup>, with the provisos that:

a) m=n=0 when V is -CH=CH- and W is SO<sub>2</sub>;

A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,

A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

 $A^1$  and  $A^2$  bond together to form  $-A^1-A^2$ -, wherein  $-A^1-A^2$ - is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$ - group of  $-A^1-A^2$ - is optionally replaced by  $NR^3$ , S, or O;

A³ and A⁴ are independently hydrogen or lower-alkyl;

A<sup>5</sup> is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;

 $R^2$  is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N( $R^4$ ,  $R^5$ );  $R^1$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently hydrogen or lower-alkyl; and When  $A^1$  is not bonded to  $A^2$ ,  $A^1$  and  $A^3$  optionally bond together to form  $-A^1$ - $A^3$ -, wherein  $-A^1$ - $A^3$ - is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$ - group of  $-A^1$ - $A^3$ - is optionally replaced by NR $^3$ , S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).

# 77. (new) A compound of formula (I)

$$A_{U}^{1} \xrightarrow{A^{3}} A_{(CH_{2})_{m}}^{4} \xrightarrow{(CH_{2})_{n}} N^{\cdot W-A^{5}}$$
(I)

wherein

U is O or a lone pair;

V is -CH<sub>2</sub>-, -CH=CH-, or -C≡C-;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

W is SO<sub>2</sub>, or SO<sub>2</sub>NR<sup>1</sup>, with the provisos that:

- a) V is not -CH<sub>2</sub>- when W is CO,
- b) m+n is 1 or 2 when V is - $CH_2$  and W is  $SO_2$ ,
- c) m=n=0 when V is -CH=CH- and W is CO or SO<sub>2</sub>,
- A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,
- A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or
- $A^1$  and  $A^2$  bond together to form  $-A^1-A^2$ -, wherein  $-A^1-A^2$  is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$  group of  $-A^1-A^2$  is optionally replaced by  $NR^3$ , S, or O;

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

- A<sup>5</sup> is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;
- $R^2$  is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);  $R^1$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently hydrogen or lower-alkyl; and When  $A^1$  is not bonded to  $A^2$ ,  $A^1$  and  $A^3$  optionally bond together to form  $-A^1-A^3$ -, wherein  $-A^1-A^3$ - is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$ - group of  $-A^1-A^3$ - is optionally replaced by NR<sup>3</sup>, S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).
  - 78. (new) The compound according to claim 77, wherein U is a lone pair.
  - 79. (new) The compound according to claim 78, wherein V is -C≡C-.
  - 80. (new) The compound according to claim 78, wherein V is -CH<sub>2</sub>-.
  - 81. (new) The compound according to claim 78, wherein V is -CH=CH-.
  - 82. (new) The compound according to claim 78, wherein W is SO<sub>2</sub>.
  - 83. (new) The compound according to claim 78, wherein W is SO<sub>2</sub>NH.
  - 84. (new) The compound according to claim 78, wherein n is 0 to 2.
  - 85. (new) The compound according to claim 86, wherein n is 0.
  - 86. (new) The compound according to claim 78, wherein m is 1 to 5.
  - 87. (new) The compound according to claim 78, wherein m is 0 to 2.

- 88. (new) The compound according to claim 78, wherein A<sup>1</sup> is methyl, ethyl or 2-propenyl.
- 89. (new) The compound according to claim 88, wherein A<sup>2</sup> is methyl, n-propyl, i-propyl, n-butyl, 2-propenyl, 2-propinyl, cyclopropyl, cyclohexyl, cyclopropyl-methylene; or ethyl optionally substituted with hydroxy, methoxy, or ethoxycarbonyl.
- 90. (new) The compound according to claim 89, wherein A<sup>2</sup> is n-propyl, 2-hydroxy-ethyl, 2-methoxy-ethyl, 2-propenyl, or cyclopropyl.
- 91. (new) The compound according to claim 78, wherein  $A^1$  and  $A^2$  are bonded together to form  $-A^1-A^2$ -, wherein  $R^2$  is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(lower-alkyl)<sub>2</sub>, and  $R^3$  is lower-alkyl.
- 92. (new) The compound according to claim 91, wherein R<sup>2</sup> is methyl, hydroxy, 2-hydroxy-ethyl, or N(CH<sub>3</sub>)<sub>2</sub>, and R<sup>3</sup> is methyl.
  - 93. (new) The compound according to claim 78, wherein A<sup>3</sup> is hydrogen.
  - 94. (new) The compound according to claims 93, wherein A<sup>4</sup> is hydrogen.
- 95. (new) The compound according to claim 78, wherein A<sup>5</sup> is lower-alkyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine and chlorine; lower-alkenyl, cycloalkyl, cycloalkyl-lower-alkyl, lower-alkoxy-carbonyl-lower-alkyl, naphthyl, furyl-methylene; or phenyl, benzyl or phenyl-ethylene, optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine, chlorine, bromine, CN, CF<sub>3</sub>, NO<sub>2</sub>, lower-alkyl, lower-alkoxy, thio-lower-alkoxy, lower-alkyl-carbonyl, lower-alkoxy-carbonyl, and dioxo-lower-alkylene.

- 96. (new) The compound according to claim 95, wherein  $A^5$  is lower-alkyl, cycloalkyl-lower-alkyl; or phenyl or benzyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine, chlorine, bromine, and  $CF_3$ .
- 97. (new) The compound according to claim 96, wherein A<sup>5</sup> is n-butyl, i-butyl, cyclohexyl-methylene, phenyl, 4-chloro-phenyl, 4-bromo-phenyl, 2,5-difluoro-phenyl, 3,4-difluoro-phenyl, 4-trifluoromethyl-phenyl, or 4-chloro-benzyl.
- 98. (new) A process for the preparation of compounds according to claim 77, which process comprises reacting a compound of formula (II)

wherein Z is  $(A^1,A^2)N-C(A^3,A^4)-(CH_2)_m-V-(CH_2)_n$ , X-CH<sub>2</sub>- $(CH_2)_m-V-(CH_2)_n$ , HO(CH<sub>2</sub>)<sub>n</sub>-, or HOOC(CH<sub>2</sub>)<sub>n</sub>-, wherein X is chlorine, bromine, iodine, methanesulfonyl, or toluenesulfonyl, and A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup>, V, m and n are as defined in claim 77, with CISO<sub>2</sub>-A<sup>5</sup>, CICOO-A<sup>5</sup>, CICSO-A<sup>5</sup>, OCN-A<sup>5</sup>, SCN-A<sup>5</sup>, HOOC-A<sup>5</sup>, or CISO<sub>2</sub>NR<sup>1</sup>-A<sup>5</sup>, wherein A<sup>5</sup> is as defined in claim 77.

- 99. (new) A pharmaceutical composition comprising a compound according to claim 77 and at least one of a pharmaceutically acceptable carrier or a pharmaceutically acceptable adjuvant.
  - 100. (new) A compound of formula (I)

$$A_{U}^{1} \xrightarrow{A^{3}} A_{U}^{4} \xrightarrow{V} (CH_{2})_{m} (CH_{2})_{n}$$

$$(I)$$

wherein

U is O or a lone pair;

V is O;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

W is SO<sub>2</sub>NR<sup>1</sup>, with the provisos that:

a) m is 1 to 7 when V is O;

A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,

A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

A<sup>1</sup> and A<sup>2</sup> bond together to form -A<sup>1</sup>-A<sup>2</sup>-, wherein -A<sup>1</sup>-A<sup>2</sup>- is lower-alkylene or lower-alkenylene, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>2</sup>- is optionally replaced by NR<sup>3</sup>, S, or O;

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;

R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);

 $R^{1}$ ,  $R^{3}$ ,  $R^{4}$  and  $R^{5}$  are independently hydrogen or lower-alkyl; and

When  $A^1$  is not bonded to  $A^2$ ,  $A^1$  and  $A^3$  optionally bond together to form  $-A^1-A^3$ -, wherein  $-A^1-A^3$ - is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$ - group of  $-A^1-A^3$ - is optionally replaced by NR<sup>3</sup>, S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).

- 101. (new) The compound according to claim 100, wherein U is a lone pair.
- 102. (new) The compound according to claim 101, wherein n is 0 to 2.
- 103. (new) The compound according to claim 101, wherein n is 0.
- 104. (new) The compound according to claim 101, wherein m is 1 to 5.

- 105. (new) The compound according to claim 101, wherein m is 0 to 2.
- 106. (new) The compound according to claim 101, wherein A<sup>1</sup> is methyl, ethyl or 2-propenyl.
- 107. (new) The compound according to claim 106, wherein A<sup>2</sup> is methyl, n-propyl, i-propyl, n-butyl, 2-propenyl, 2-propinyl, cyclopropyl, cyclohexyl, cyclopropyl-methylene; or ethyl optionally substituted with hydroxy, methoxy, or ethoxycarbonyl.
- 108. (new) The compound according to claim 107, wherein A<sup>2</sup> is n-propyl, 2-hydroxy-ethyl, 2-methoxy-ethyl, 2-propenyl, or cyclopropyl.
- 109. (new) The compound according to claim 101, wherein  $A^1$  and  $A^2$  are bonded together to form  $-A^1-A^2$ -, wherein  $R^2$  is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(lower-alkyl)<sub>2</sub>, and  $R^3$  is lower-alkyl.
- 110. (new) The compound according to claim 09, wherein  $R^2$  is methyl, hydroxy, 2-hydroxy-ethyl, or  $N(CH_3)_2$ , and  $R^3$  is methyl.
  - 111. (new) The compound according to claim 101, wherein A<sup>3</sup> is hydrogen.
  - 112. (new) The compound according to claims 111, wherein A<sup>4</sup> is hydrogen.
- 113. (new) The compound according to claim 101, wherein A<sup>5</sup> is lower-alkyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine and chlorine; lower-alkenyl, cycloalkyl, cycloalkyl-lower-alkyl, lower-alkoxy-carbonyl-lower-alkyl, naphthyl, furyl-methylene; or phenyl, benzyl or phenyl-ethylene, optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine, chlorine, bromine, CN, CF<sub>3</sub>, NO<sub>2</sub>, lower-alkyl, lower-alkoxy, thio-lower-alkoxy, lower-alkyl-carbonyl, lower-alkoxy-carbonyl, and dioxo-lower-alkylene.

114. (new) The compound according to claim 113, wherein A<sup>5</sup> is lower-alkyl, cycloalkyl-lower-alkyl; or phenyl or benzyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine, chlorine, bromine, and CF<sub>3</sub>.

- 115. (new) The compound according to claim 114, wherein A<sup>5</sup> is n-butyl, i-butyl, cyclohexyl-methylene, phenyl, 4-chloro-phenyl, 4-bromo-phenyl, 2,5-difluoro-phenyl, 3,4-difluoro-phenyl, 4-trifluoromethyl-phenyl, or 4-chloro-benzyl.
- 116. (new) A process for the preparation of compounds according to claim 100, which process comprises reacting a compound of formula (II)

wherein Z is  $(A^1,A^2)N-C(A^3,A^4)-(CH_2)_m-V-(CH_2)_{n^-}$ , X-CH<sub>2</sub>- $(CH_2)_m-V-(CH_2)_{n^-}$ , HO(CH<sub>2</sub>)<sub>n</sub>-, or HOOC(CH<sub>2</sub>)<sub>n</sub>-, wherein X is chlorine, bromine, iodine, methanesulfonyl, or toluenesulfonyl, and A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup>, V, m and n are as defined in claim 1, with CISO<sub>2</sub>-A<sup>5</sup>, CICOO-A<sup>5</sup>, CICSO-A<sup>5</sup>, OCN-A<sup>5</sup>, SCN-A<sup>5</sup>, HOOC-A<sup>5</sup>, or CISO<sub>2</sub>NR<sup>1</sup>-A<sup>5</sup>, wherein A<sup>5</sup> is as defined in claim 1.

117. (new) A pharmaceutical composition comprising a compound according to claim 100 and at least one of a pharmaceutically acceptable carrier or a pharmaceutically acceptable adjuvant.

## 118. (new) A compound of formula (I)

$$A_{U}^{1} \stackrel{A^{3}}{\underset{A^{2}}{\bigvee}} A_{2}^{4} \stackrel{V}{\underset{(CH_{2})_{m}}{\bigvee}} (CH_{2})_{n} \qquad (I)$$

## wherein

U is O or a lone pair;

V is O;

m and n are each integers from 1 to 7 and m+n is 1 to 7;

W is  $SO_2$ ,

A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,

A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

 $A^1$  and  $A^2$  bond together to form  $-A^1-A^2$ -, wherein  $-A^1-A^2$ - is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$ - group of  $-A^1-A^2$ - is optionally replaced by  $NR^3$ , S, or O;

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

A<sup>5</sup> is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;

R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);

 $R^{1}$ ,  $R^{3}$ ,  $R^{4}$  and  $R^{5}$  are independently hydrogen or lower-alkyl; and

When  $A^1$  is not bonded to  $A^2$ ,  $A^1$  and  $A^3$  optionally bond together to form  $-A^1-A^3$ -, wherein  $-A^1-A^3$ - is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$ - group of  $-A^1-A^3$ - is optionally replaced by NR<sup>3</sup>, S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).

# 119. (new) A compound of formula (I)

wherein

U is O or a lone pair;

V is O;

n is the integer 0;

m is an integer from 1 to 2;

W is SO<sub>2</sub>,

A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,

A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

 $A^1$  and  $A^2$  bond together to form  $-A^1-A^2$ -, wherein  $-A^1-A^2$ - is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$ - group of  $-A^1-A^2$ - is optionally replaced by  $NR^3$ , S, or O;

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

A<sup>5</sup> is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl-lower-alkyl;

R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);

R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently hydrogen or lower-alkyl; and

When  $A^1$  is not bonded to  $A^2$ ,  $A^1$  and  $A^3$  optionally bond together to form  $-A^1-A^3$ -, wherein  $-A^1-A^3$ - is lower-alkylene or lower-alkenylene, optionally substituted by  $R^2$ , and one  $-CH_2$ - group of  $-A^1-A^3$ - is optionally replaced by NR<sup>3</sup>, S, or O; or pharmaceutically acceptable salts or esters of the compounds of formula (I).

# 120. (new) A compound of compounds of formula (la)

$$A^{11} \underset{A^{12}}{\overset{V}{\underset{(CH_2)_p}{\bigvee}}} (CH_2)_q \qquad (Ia)$$

wherein

V is -CH<sub>2</sub>-, -CH=CH-, or -C $\equiv$ C-;

p is an integer from 0 to 5;

- q 0, 1 or 2;
- X is  $SO_2$ , or  $SO_2NH$ , with the provisos that:
  - a) p+q is 1 or 2 when V is -CH<sub>2</sub>- and X is SO<sub>2</sub>,
  - b) p=q=0 when V is -CH=CH- and X is SO<sub>2</sub>,
- A<sup>11</sup> is methyl or ethyl;
- A<sup>12</sup> is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and
- A<sup>15</sup> is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl; or pharmaceutically acceptable salts or esters of the compounds of formula (Ia).
- 121. (new) The compound of claim 120, wherein A<sup>12</sup> is cyclopropyl, lower alkenyl of 2 to 4 carbon atoms, lower alkyl of 1 to 4 carbon atoms, lower alkyl substituted with a lower-alkoxy having a total of 2 to 4 carbon atoms, or lower alkyl substituted with hydroxy.
- 122. (new) The compound of claim 121, wherein A<sup>15</sup> is lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, aryl or aryl-lower-alkyl.
  - 123. (new) The compound of claim 122, wherein V is -CH<sub>2</sub>-.
  - 124. (new) The compound of claim 122, wherein V is -CH=CH-.
  - 125. (new) The compound of claim 122, wherein V is -C≡C-.
  - 126. (new) The compound of claim 125, wherein X is SO<sub>2</sub>.
  - 127. (new) The compound of claim 125, wherein X is SO<sub>2</sub>NH.

## 128. (new) A compound of compounds of formula (Ia)

$$A^{11} \underbrace{N}_{A^{12}} \underbrace{(CH_2)_p}_{p} \underbrace{(CH_2)_q}_{q} \underbrace{(Ia)}_{q}$$

#### wherein

V is O;

p is an integer from 0 to 5;

q 0, 1 or 2;

X is  $SO_2NH$ , with the provisos that:

a) p is 1 to 5 when V is O;

A<sup>11</sup> is methyl or ethyl;

A<sup>12</sup> is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and

A<sup>15</sup> is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl; or

pharmaceutically acceptable salts or esters of the compounds of formula (Ia).

- 129. (new) The compound of claim 128, wherein A<sup>12</sup> is cyclopropyl, lower alkenyl of 2 to 4 carbon atoms, lower alkyl of 1 to 4 carbon atoms, lower alkyl substituted with a lower-alkoxy having a total of 2 to 4 carbon atoms, or lower alkyl substituted with hydroxy.
- 130. (new) The compound of claim 129, wherein A<sup>15</sup> is lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, aryl or aryl-lower-alkyl.
  - 131. (new) The compound of claim 130, wherein A<sup>15</sup> is lower alkyl.

- 132. (original) The compound of claim 130, wherein  $A^{15}$  is cycloalkyl-loweralkyl.
  - 133. (original) The compound of claim 130, wherein A<sup>15</sup> is phenyl.
- 134. (original) The compound of claim 130, wherein A<sup>15</sup> is phenyl substituted with at least one
- 135. (original) The compound of claim 130, wherein A<sup>15</sup> is phenyl substituted with trifluoromethyl.